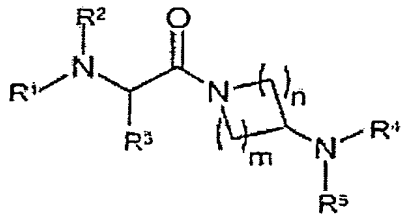
CLAIMS

1. A compound of the following formula (1):



(1)

in which

m and n each independently represents 1 or 2,

R^1 reperesents
hydrogen,
$-(CH_2)_p-R^6$,
$-(CH_2)_p-CO-(CH_2)_p-R^6$,
$-(CH_2)_p-CO-(CH_2)_p-CH(R^6)(R^{10})$, or
$-(CH_2)_p-SO_2-(CH_2)_p-R^6$,

wherein

p independently represent 0, 1, 2, or 3,

R^6 represents C_1-C_{10}-alkyl, C_1-C_8-alkoxy, C_3-C_8-cycloalkyl, heterocycle, aryl, heteroaryl, amino, or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by one or more substituents selected from the group consisting of C_1-C_{10}-alkyl, C_1-C_{10}-dialkyl, C_3-C_{13}-cycloalkyl, C_3-C_{13}-dicycloalkyl, C_3-C_{13}-tricycloalkyl, perhalo-C_1-C_8-alkyl, aryl, heteroaryl, heterocycle, hydroxy, C_1-C_8-alkoxy, C_1-C_8-alkoxy-C_1-C_8-alkoxy, trifluoromethoxy, aryl-C_1-C_8-alkyloxy, aryloxy, oxo, mercapto, C_1-C_8-alkylcarbonyl, C_1-C_8-alkoxycarbonyl, C_1-C_8-alkylsulfonyl, arylsulfonyl, C_1-C_8-alkylthio, arylthio, cyano, formyl, halogen, carbonyl, thiocarbonyl, C_3-C_8-cycloalkylcarbonyl, arylcarbonyl, ar-C_1-C_8-alkyl, ar-C_1-C_8-alkylcarbonyl, ar-C_1-C_8-alkylsulfonyl, O-carbamoyl, N-carbamoyl, O-thiocarbamoyl, N-thiocarbamoyl,

carbamoyl, C_1-C_8-alkylcarbamoyl, di(C_1-C_8-alkyl)carbamoyl, O-sulfoneamido, N-sulfonamido, carboxy, isocyanato, thiocyanato, isothiocyanato, nitro, trihalomethanesulfonyl, amino, C_1-C_6-alkylamino, di(C_1-C_6-alkyl)amino, and protective derivatives thereof,

R^{10} represents heterocycle, or represents amino or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R^7,

wherein,

R^7 represents halogen, amino, C_1-C_6-alkylamino, di(C_1-C_6-alkyl)amino, hydroxy, C_1-C_8-alkoxy, trifluoromethoxy, C_1-C_6-alkylcarbonyl, carboxy, C_1-C_8-alkyl, mercapto, C_1-C_{10}-alkylthio, phenoxy, C_1-C_8-alkoxycarbonyl, arylcarbonyl, carbamoyl, C_1-C_6-alkylsulfonyl, arylsulfonyl, cyano or oxo,

R^6 and R^{10} may form 5- or 6-membered single ring together with the atoms to which they attached,

hydrogen atom in $-(CH_2)_p-$ group can be replaced by R^6,

R^2 represents
hydrogen,
C_1-C_8-alkyl which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R^7,
C_3-C_7-cycloalkyl, or
$-CO-(CH_2)_p-R^6$,

R^1 and R^2 together with the atoms to which they attached, may form 4- or 8-membered single ring or two ring which can contain heteroatom selected from the group consisting of O, S and N-(C_1-C_4-alkyl),

R^3 and R^4 each independently represents
hydrogen,
C_1-C_8-alkyl,
$-(CH_2)_p-C_3$-C_8-cycloalkyl,

$-(CH_2)_p-C_6-C_{10}$-aryl,
$-(CH_2)_p$-heteroaryl, or
$-(CH_2)_p$-heterocycle,

wherein, alkyl, cycloalkyl, heterocycle, aryl, or heteroaryl, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R^7,

R^5 represents
hydrogen,
C_1-C_6-alkyl,
$-(CH_2)_p-CO-R^8$,
$-(CH_2)_p-C(O)N(R^8)(R^9)$,
$-(CH_2)_p-C(S)N(R^8)(R^9)$,
$-(CH_2)_p-SO_2-N(R^8)(R^9)$, or
$-(CH_2)_p-SO_2-R^8$,

wherein,
R^8 and R^9 each independently represents
hydrogen,
C_1-C_8-alkyl,
C_1-C_6-alkoxy,
C_1-C_6-alkylthio,
C_3-C_7-cycloalkyl,
C_3-C_7-cycloalkenyl,
heterocycle,
aryl, or
heteroaryl,

wherein
alkyl, cycloalkyl, or aryl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R^7, C_3-C_8-cycloalkyl, heterocycle, hydroxy-C_1-C_8-alkyl, halogen-C_1-C_8-alkyl, C_1-C_8-alkoxy-C_1-C_8-alkyl, amino-C_1-C_8-alkyl, C_3-C_8-cycloalkyloxy, ar-C_1-C_8-alkyloxy, aryloxy, arylthio, formyl, C_1-C_8-alkylcarbamoyl, di(C_1-C_8-alkyl)carbamoyl, C_1-C_8-alkylcarbonyloxy, C_1-C_8-alkoxy-C_1-C_8-alkoxy, C_3-C_8-cycloalkylcarbonyl, ar-C_1-C_8-alkylcarbonyl, C_2-C_8-alkanoyloxy, C_3-

C_8-cycloalkylcarbonyloxy, arylcarbonyloxy which is unsubstituted or substituted by halogen, ar-C_1-C_8-alkylcarbonyloxy, C_1-C_8-alkoxyimino, ar-C_1-C_8-alkylsulfonyl, and C_1-C_8-alkylsulfonyloxy,

heterocycle, cycloalkenyl, or heteroaryl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R^7, and hydroxy-C_1-C_8-alkyl,

R^4 and R^5 together with the atoms to which they attached, may form 4- or 8-membered single ring or two ring which can contain heteroatom selected from the group consisting of O, S and N-(C_1-C_4-alkyl).

2. The compound according to claim 1 wherein

R^1 represents hydrogen, -$(CH_2)_p$-R^6, -$(CH_2)_p$-CO-R^6, -CO-$(CH_2)_p$-R^6, -$(CH_2)_p$-CO-$(CH_2)_p$-CH(R^6)(R^{10}), or -SO_2-$(CH_2)_p$-R^6,

R^6 represents C_1-C_{10}-alkyl, C_1-C_8-cycloalkyl, heterocycle, aryl, or heteroaryl, or represent amino or hydroxy,

hydrogen atom in -$(CH_2)_p$- group can be replaced by R^6,

wherein

C_1-C_{10}-alkyl, C_1-C_8-cycloalkyl, heterocycle, aryl, or heteroaryl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R^7,

amino or hydroxy is unsubstituted or mono- or di-substituted by the substituents selected from the group consisting of C_1-C_{10}-alkyl, ar-C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_2-C_8-alkylcarbonyl, C_3-C_8-cycloalkylcarbonyl, arylcarbonyl, ar-C_1-C_8-alkylcarbonyl, C_1-C_8-alkoxycarbonyl, carbamoyl, C_1-C_8-alkylcarbamoyl, di(C_1-C_8-alkyl)carbamoyl, C_1-C_8-alkylsulfonyl, arylsulfonyl, and ar-C_1-C_8-alkylsulfonyl,

R^{10} is defined as Claim 1,

R^6 and R^{10} may form 5- or 6-membered single ring together with the atoms to which they attached, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

3. The compound according to claim 2 wherein

R^1 represents hydrogen, $-(CH_2)_p-R^6$, $-(CH_2)_p-CO-R^6$, $-CO-(CH_2)_p-R^6$, or $-(CH_2)_p-CO-(CH_2)_p-CH(R^6)(R^{10})$, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

4. The compound according to claim 3 wherein

R^1 represents hydrogen, $-R^6$ or $-CO-CH(R^6)(R^{10})$,

R^{10} represents heterocycle, or represents amino or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R^7,

R^6 and R^{10} may form 5- or 6-membered single ring together with the atoms to which they attached, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

5. The compound according to claim 1 wherein

R^2 represents hydrogen or C_1-C_6-alkyl, and

pharmaceutically acceptable salt, hydrate, solvate or isomer thereof.

6. The compound according to claim 1 wherein

R^3 represents C_1-C_8-alkyl, -$(CH_2)_p$-C_3-C_7-cycloalkyl, -$(CH_2)_p$-phenyl, or -$(CH_2)_p$-heteroaryl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of R^7, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

7. The compound according to claim 6 wherein

R^3 represents -CH_2-cyclohexyl or -CH_2-phenyl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of halogen, cyano, hydroxy, C_1-C_8-alkoxy, trifluoromethoxy and C_1-C_4-alkyl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

8. The compound according to claim 7 wherein

R^3 represents -CH_2-phenyl, in which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of chloro, bromo, cyano, hydroxy, methoxy and metyhl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

9. The compound according to claim 1 wherein

R^4 represents C_1-C_8-alkyl, or represent C_3-C_8-cycloalkyl, phenyl, heteroaryl, or heterocycle, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of R^7, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

10. The compound according to claim 9 wherein

R^4 represents C_3-C_8-cycloalkyl or phenyl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

11. The compound according to claim 10 wherein

R^4 represents cyclohexyl, cylcoheptyl or cylcopentyl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of methyl, ethyl, t-butyl, hydroxy and oxo, or represent phenyl unsubstituted or mono- to tri-substituted by substituents from the group consisting of fluoro, chloro, methoxy and methyl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

12. The compound according to claim 1 wherein

R^5 represents hydrogen, C_1-C_6-alkyl, -$(CH_2)_p$-CO-R^8, -$(CH_2)_p$-C(O)N(R^8)(R^9), or -$(CH_2)_p$-SO_2-R^8, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

13. The compound according to claim 12 wherein

R^5 represents -CO-R^8 or -C(O)N(R^8)(R^9), and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

14. The compound according to claim 13 wherein

R^8 and R^9 each independently represents hydrogen, methoxy, amino, C_1-C_8-alkyl, C_3-C_6-cycloalkyl, C_5-C_6-cycloalkenyl, heterocycle, or phenyl,

wherein, C_1-C_8-alkyl or C_3-C_6-cycloalkyl is unsubstituted or mono-substituted by the substituents selected from the group consisting of methyl, hydroxy, amino, C_1-C_4-alkoxy, phenoxy, benzyloxy, fluoro, phenylsulfoxy, acetyl, methoxymethylalkoxy, carboxy, formyl, methoxycarbonyl, dimethylcarbamoyl, carboxy, phenylcarbonyloxy, methoxycarbonyl, difluorophenylcarbonyloxy, dimethylphenylcarbonyloxy, cyclohexylcarbonyloxy, arylcarbonyloxy, and oxo,

C_5-C_6-cycloalkenyl represents cyclopentyl or cyclohexyl substituted by hydroxy or amino,

heterocycle or phenyl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of hydroxy, methyl, amino, nitrobenzenesulfonyl, and oxo, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

15. The compound according to any one of claims 4, 8, 11, 13, and 14 wherein

R^1 represents hydrogen, $-R^6$ or $-CO-CH(R^6)(R^{10})$,

R^{10} represents heterocycle, or represents amino or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R^7,

R^6 and R^{10} may form 5- or 6-membered single ring together with the atoms to which they attached,

R^3 represents $-CH_2$-phenyl, in which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of chloro, bromo, cyano, hydroxy, methoxy and metyhl,

R^4 represents cyclohexyl, cylcoheptyl or cylcopentyl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of methyl, ethyl, t-butyl, hydroxy and oxo, or represent phenyl unsubstituted or mono- to tri-substituted by substituents from the group consisting of fluoro, chloro, methoxy and methyl,

R^5 represents $-CO-R^8$ or $-C(O)N(R^8)(R^9)$,

R^8 and R^9 each independently represents hydrogen, methoxy, amino, C_1-C_8-alkyl, C_3-C_6-cycloalkyl, C_5-C_6-cycloalkenyl, heterocycle, or phenyl,

wherein, C_1-C_8-alkyl or C_3-C_6-cycloalkyl is unsubstituted or mono-substituted by the substituents selected from the group consisting of methyl, hydroxy, amino, C_1-C_4-alkoxy, phenoxy, benzyloxy, fluoro, phenylsulfoxy, acetyl, methoxymethylalkoxy, carboxy, formyl, methoxycarbonyl, dimethylcarbamoyl, carboxy, phenylcarbonyloxy, methoxycarbonyl, difluorophenylcarbonyloxy, dimethylphenylcarbonyloxy, cyclohexylcarbonyloxy, arylcarbonyloxy, and oxo,

C_5-C_6-cycloalkenyl represents cyclopentyl or cyclohexyl substituted by hydroxy or amino,

heterocycle or phenyl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of hydroxy, methyl, amino, nitrobenzenesulfonyl, and oxo, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

16. An agonistic composition of melanocortin receptor comprising the compound of formula 1, and pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof as defined in claim 1 as active ingredients together with pharmaceutically acceptable carrier.

17. The composition according to claim 16 for the prevention and treatment of obesity.

18. The composition according to claim 16 for the prevention and treatment of diabetes.

19. The composition according to claim 16 for the prevention and treatment of inflammation.

20. The composition according to claim 16 for the prevention and treatment of erectile dysfunction.